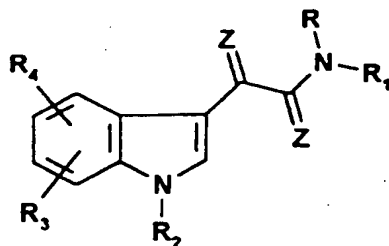


Patent Claims

1. N-substituted indol-3-glyoxylamides of the formula
1



5

and their acid addition salts,

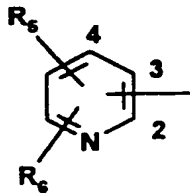
where the radicals R, R₁, R₂, R₃, R₄ and Z have the following meaning:

- 10 R = hydrogen, (C₁-C₆)-alkyl, where the alkyl group can be mono- or polysubstituted by the phenyl ring. This phenyl ring, for its part, can be mono- or polysubstituted by halogen, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, by carboxyl groups, carboxyl groups esterified with (C₁-C₆)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, benzyloxy groups and by a benyl [sic] group which is mono- or polysubstituted in the phenyl moiety by (C₁-C₆)-alkyl groups halogen atoms or trifluoromethyl groups,

20

- R₁ can be a phenyl ring which is mono- or polysubstituted by (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy, hydroxyl, benzyloxy, nitro, amino, (C₁-C₆)-alkylamino, (C₁-C₆)-alkoxy-carbonylamino and by a carboxyl group or a carboxyl group esterified by (C₁-C₆)-alkanols, or is a pyridin structure of the formula II

25



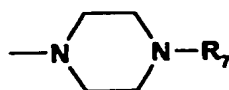
Formula II

where the pyridin structure is alternatively
 5 bonded to the ring carbon atoms 2, 3 and 4 and
 can be substituted by the substituents R₅ and R₆.
 The radicals R₅ and R₆ can be identical or
 different and have the meaning (C₁-C₆)-alkyl, and
 also the meaning (C₃-C₇)-cycloalkyl, (C₁-C₆)-
 10 alkoxy, nitro, amino, hydroxyl, halogen and
 trifluoromethyl and are furthermore the ethoxy-
 carbonylamino radical and the group carboxy-
 alkyloxy in which the alkyl group can have 1-4 C
 atoms,

15 R₁ can furthermore be a 2- or 4-pyrimidinyl-
 heterocycle or a pyridylmethyl radical in which
 CH₂ can be in the 2-, 3-, 4-position where the 2-
 pyrimidinyl ring can be mono- or polysubstituted
 20 by the methyl group, furthermore are [sic] the 2-
 , 3- and 4-quinolyl structure substituted by (C₁-
 C₆)-alkyl, halogen, the nitro group, the amino
 group and the (C₁-C₆)-alkylamino radical, or are
 [sic] a 2-, 3- and 4-quinolyl methyl group, where
 25 the ring carbons of the pyridylmethyl and
 quinolylmethyl radical can be substituted by (C₁-
 C₆)-alkyl, (C₁-C₆)-alkoxy, nitro, amino and (C₁-
 C₆)-alkoxy-carbonylamino,

30 R₁ for the case where R is hydrogen or the benzyl
 group, can furthermore be the acid radical of a
 natural or unnatural amino acid, e.g. the α-
 glyceryl, the α-sarcosyl, the α-alanyl, the α-
 leucyl, the α-isoleucyl, the α-seryl, the α-
 35 phenylalanyl, the α-histidyl, the α-prolyl, the

5 α -arginyl, the α -lysyl, the α -asparagyl and the
 α -glutamyl radical, where the amino groups of the
respective amino acids can be present in
unprotected or protected form and are possible
protective groups for the amino function of the
10 carbobenzoxy radical (Z radical) and the tert-
butoxycarbonyl radical (BOC radical) and also the
acetyl group. In the case of the asparagyl and
glutamyl radical claimed for R_1 , the second,
nonbonded carboxyl group is present as a free
carboxyl group or in the form of an ester with
15 C_1 - C_6 -alkanols, e.g. as the methyl, ethyl or as
the tert-butyl ester. R_1 can furthermore be the
allylaminocarbonyl-2-methylprop-1-yl group. R and
 R_1 , together with the nitrogen atom to which they
are bonded, can furthermore form a piperazine
ring of the formula III or a homopiperazine ring
if R_1 is an aminoalkylene group in which



20 Formula III

R_7 is an alkyl radical, a phenyl ring which can be
mono- or polysubstituted by (C_1-C_6) -alkyl, (C_1-C_6) -
alkoxy, halogen, the nitro group, the amino
25 function, by (C_1-C_6) -alkylamino, the benzhydryl
group and the bis-p-fluorobenzylhydryl group,

R_2 can be hydrogen or the (C_1-C_6) -alkyl group, where
the alkyl group can be mono- or polysubstituted by
30 halogen and phenyl which for its part can be mono-
or polysubstituted by halogen, (C_1-C_6) -alkyl, $(C_3-$
 $C_7)$ -cycloalkyl, carboxyl groups, carboxyl groups
esterified with (C_1-C_6) -alkanols, trifluoromethyl
groups, hydroxyl groups, methoxy groups, ethoxy
35 groups or benzyloxy groups. The (C_1-C_6) -alkyl group
counting as R_2 can furthermore be substituted by
the 2-quinolyl group and the 2-, 3- and 4-pyridyl

structure, which in each case can both be mono- or polysubstituted by halogen, (C₁-C₄)-alkyl groups or (C₁-C₄)-alkoxy groups. R₂ is furthermore the aroyl radical, where the aryl moiety on which this
5 radical is based is the phenyl ring which can be mono- or polysubstituted by halogen, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, carboxyl groups, carboxyl groups esterified by (C₁-C₆)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy
10 groups, ethoxy groups or benzyloxy groups,

R₃ and R₄ can be identical or different and are hydrogen, hydroxyl, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkanoyl, (C₁-C₆)-alkoxy, halogen and
15 benzyloxy. R₃ and R₄ can furthermore be the nitro group, the amino group, the (C₁-C₄)-mono- or dialkyl-substituted amino group, and the (C₁-C₃)-alkoxycarbonylamino function or the (C₁-C₃)-alkoxy-carbonylamino- (C₁-C₃)-alkyl function,

20

Z is O or S,

and where the designation alkyl, alkanol, alkoxy or alkylamino group for the radicals R, R₁, R₂, R₃, R₄, R₅,
25 R₆ and R₇ is normally to be understood as meaning "straight-chain" and "branched" alkyl groups, where "straight-chain alkyl groups" can be, for example, radicals such as methyl, ethyl, n-propyl, n-butyl, n-pentyl and n-hexyl and "branched alkyl groups"
30 designate, for example, radicals such as isopropyl or tert-butyl. "Cycloalkyl" is to be understood as meaning radicals such as, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl, additionally the designation "halogen" represents
35 fluorine, chlorine, bromine or iodine, and the designation "alkoxy group" represents radicals such as, for example, methoxy, ethoxy, propoxy, butoxy, isopropoxy, isobutoxy or pentoxy.